CLAIMS

A production method of quinolinecarbaldehyde of the formula
 (IV)

wherein R¹, R², R³, R⁴ and R⁶ are each a hydrogen atom, a

10 halogen atom, an optionally protected hydroxyl group, an
optionally substituted alkyl group, an optionally substituted
aryl group, an optionally substituted aralkyl group, an
optionally substituted alkoxy group, an optionally substituted
aryloxy group, or R⁹R¹⁰N- wherein R⁹ and R¹⁰ are each an

15 optionally substituted alkyl group, R¹ and R² are optionally
linked to show -CH=CH-CH=CH- and R⁵ is an optionally
substituted alkyl group or an optionally substituted aryl
group, which comprises reacting aminobenzophenone of the
formula (I)

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$$R^{6}$$
 R^{1}
 NH_{2}
 (I)

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wherein R^1 , R^2 , R^3 , R^4 and R^6 are as defined above, with a β -ketoaldehyde derivative of the formula (II)

$$\begin{array}{cccc}
O & XR^7 \\
& & & (II)
\end{array}$$

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wherein R^5 is as defined above, R^7 and R^8 are each an optionally substituted alkyl group, an optionally substituted acyl group or an optionally substituted aralkyl group, or linked to show

an optionally substituted alkylene group, an optionally substituted arylene group or an aralkylene group, and X and Y are the same or different and each is an oxygen atom or a sulfur atom, in the presence of an acid to give a quinolinecarbaldehyde derivative of the formula (III)

wherein R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, X and Y are as defined above, and then hydrolyzing said quinolinecarbaldehyde

15 derivative.

2. A production method of a quinolinecarbaldehyde derivative of the formula (III)

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wherein R¹, R², R³, R⁴ and R⁶ are each a hydrogen atom, a halogen atom, an optionally protected hydroxyl group, an optionally substituted alkyl group, an optionally substituted aryl group, an optionally substituted aralkyl group, an optionally substituted alkoxy group, an optionally substituted aryloxy group, or R⁹R¹⁰N- wherein R⁹ and R¹⁰ are each an optionally substituted alkyl group, R¹ and R² are optionally linked to show -CH=CH-CH=CH-, R⁵ is an optionally substituted alkyl group or an optionally substituted aryl group, R⁷ and R⁸

are each an optionally substituted alkyl group, an optionally substituted acyl group or an optionally substituted aralkyl group, or linked to show an optionally substituted alkylene group, an optionally substituted arylene group or an

5 aralkylene group, and X and Y are the same or different and each is an oxygen atom or a sulfur atom,

which comprises reacting aminobenzophenone of the formula (I)

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wherein R^1 , R^2 , R^3 , R^4 and R^6 are as defined above, with a β - 15 ketoaldehyde derivative of the formula (II)

$$\begin{array}{cccc}
O & XR^7 \\
YR^8
\end{array} (II)$$

wherein R^5 , R^7 and R^8 are as defined above, in the presence of 20 an acid.

3. A production method of quinolinecarbaldehyde of the formula (IV)

wherein R¹, R², R³, R⁴ and R⁶ are each a hydrogen atom, a halogen atom, an optionally protected hydroxyl group, an optionally substituted alkyl group, an optionally substituted aryl group, an optionally substituted aralkyl group, an

optionally substituted alkoxy group, an optionally substituted aryloxy group, or R⁹R¹⁰N- wherein R⁹ and R¹⁰ are each an optionally substituted alkyl group, R¹ and R² is optionally linked to show -CH=CH-CH=CH- and R⁵ is an optionally substituted alkyl group or an optionally substituted aryl group, which comprises hydrolyzing a quinolinecarbaldehyde derivative of the formula (III)

wherein R¹, R², R³, R⁴, R⁵ and R⁶ are as defined above, R⁷ and R⁸ are each an optionally substituted alkyl group, an optionally substituted acyl group or an optionally substituted aralkyl group, or linked to show an optionally substituted alkylene group, an optionally substituted arylene group or an aralkylene group, and X and Y are the same or different and each is an oxygen atom or a sulfur atom.

4. The production method of claim 1, wherein, in each formula, R^1 , R^2 , R^3 and R^6 are hydrogen atoms, R^4 is a halogen atom, R^5 is an alkyl group having 1 to 6 carbon atoms, R^7 and R^8 are linked to show an alkylene group, and X and Y are both oxygen atoms.

5. The production method of claim 4, wherein, in each formula, R¹, R², R³ and R⁶ are hydrogen atoms, R⁴ is a fluorine atom, R⁵ is a cyclopropyl group, R⁷ and R⁸ are linked to show an ethylene group, a trimethylene group, a 2-methyltrimethylene group or a 2,2-dimethyltrimethylene group, and X and Y are both oxygen atoms.

6. A quinolinecarbaldehyde derivative of the formula (III)

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wherein R¹, R², R³, R⁴ and R⁶ are each a hydrogen atom, a

10 halogen atom, an optionally protected hydroxyl group, an
optionally substituted alkyl group, an optionally substituted
aryl group, an optionally substituted aralkyl group, an
optionally substituted alkoxy group, an optionally substituted
aryloxy group, or R⁹R¹⁰N- wherein R⁹ and R¹⁰ are each an

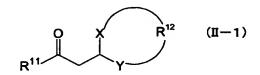
15 optionally substituted alkyl group, R¹ and R² are optionally
linked to show -CH=CH-CH=CH-, R⁵ is an optionally substituted
alkyl group or an optionally substituted aryl group, R⁷ and R⁸
are each an optionally substituted alkyl group, an optionally
substituted acyl group or an optionally substituted aralkyl
20 group, or linked to show an optionally substituted alkylene
group, an optionally substituted arylene group or an
aralkylene group, and X and Y are the same or different and
each is an oxygen atom or a sulfur atom.

- 7. The quinolinecarbaldehyde derivative of claim 6, wherein R^1 , R^2 , R^3 and R^6 are hydrogen atoms, R^4 is a halogen atom, R^5 is an alkyl group having 1 to 6 carbon atoms, R^7 and R^8 are linked to show an alkylene group, and X and Y are both oxygen atoms.
- 30 8. The quinolinecarbaldehyde derivative of claim 7, wherein R^1 , R^2 , R^3 and R^6 are hydrogen atoms, R^4 is a fluorine atom, R^5 is a cyclopropyl group, R^7 and R^8 are linked to show an ethylene group, a trimethylene group, a 2-methyltrimethylene group or a

2,2-dimethyltrimethylene group, and X and Y are both oxygen atoms.

9. A β -ketoaldehyde derivative of the formula (II-1)

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wherein R¹¹ is an optionally substituted alkyl group, R¹² is an optionally substituted alkylene group, an optionally substituted arylene group or an aralkylene group, and X and Y are the same or different and each is an oxygen atom or a sulfur atom.

- 15 10. The β -ketoaldehyde derivative of claim 9, wherein R^{12} is an optionally substituted alkylene group having 2 to 6 carbon atoms, and X and Y are both oxygen atoms.
- 11. The β -ketoaldehyde derivative of claim 10, wherein R^{11} is an optionally substituted cycloalkyl group, R^{12} is an ethylene group, a trimethylene group, a 2-methyltrimethylene group or a 2,2-dimethyltrimethylene group, and X and Y are both oxygen atoms.
- 25 12. The (-ketoaldehyde derivative of claim 9, wherein R11 is an optionally substituted cycloalkyl group, R12 is an ethylene group, and X and Y are each an oxygen atom or a sulfur atom.
- 13. A production method of a (-ketoaldehyde derivative of the 30 formula (II-1), which comprises reacting a metal alkoxide compound of the formula (V)

wherein R11 is an optionally substituted alkyl group and M is an alkali metal, with a compound of the formula (VI)

wherein R12 is an optionally substituted alkylene group, an optionally substituted arylene group or aralkylene group, and 10 X and Y are the same or different and each is an oxygen atom or a sulfur atom, in the presence of an acid.

- 14. The production method of claim 13, wherein R11 is an optionally substituted cycloalkyl group, R12 is an alkylene group having 2 to 6 carbon atoms, and X and Y are both oxygen atoms.
- 15. The production method of claim 14, wherein R11 is an optionally substituted cycloalkyl group, R12 is an ethylene group, a trimethylene group, a 2-methyltrimethylene group or a 2,2-dimethyltrimethylene group, and X and Y are both oxygen atoms.
- 16. The production method of claim 13, wherein R11 is an optionally substituted cycloalkyl group, R12 is an ethylene group, and X and Y are each an oxygen atom or a sulfur atom.